PCT/EP03/03466 WO 03/082841

- 115 -

## What is claimed is:

## 1. A compound of the formula

wherein

R<sub>1</sub> is hydrogen, halogen, hydroxy, alkoxy, carboxy, cyano, nitro, trifluoromethyl, alkynyl, alkylthio, heteroaralkyl, heteroaralkoxy or heteroaryloxy provided that R1 is located at the 2-position when L<sub>3</sub> is -(CHR)<sub>s</sub>- in which s is zero; or

R<sub>1</sub> is optionally substituted alkyl, alkenyl, optionally substituted amino, aralkyl, aralkoxy, aralkylthio, aryloxy, arylthio or cycloalkyl provided that a monocyclic aryl group which is substituted at the para position with a methylene or ethylene bridged nitrogen containing heterocycle does not constitute part of R<sub>1</sub> when

- (i) R<sub>1</sub> is located at the 2-position and L<sub>3</sub> is -(CHR)<sub>s</sub>- in which s is zero;
- (ii) X and Y each are CH; and
- (iii) Q<sub>2</sub> is oxygen; or

C-R₁ may be replaced with nitrogen or N→O; or

R₁ and R₂ combined together with the carbon atoms to which R₁ and R₂ are attached form an optionally substituted fused 5- to 6-membered aromatic or heteroaromatic ring provided that R<sub>1</sub> and R<sub>2</sub> are attached to carbon atoms adjacent to each other; or

R<sub>2</sub> is hydrogen, halogen, hydroxy, alkoxy, cyano, trifluoromethyl, nitro, optionally substituted amino, optionally substituted alkyl, alkylthio, aralkyl, heteroaralkyl, aralkoxy, heteroaralkoxy, aralkylthio, aryloxy, heteroaryloxy, arylthio or cycloalkyl; or

R<sub>2</sub> is -C(O)R<sub>3</sub> wherein

R<sub>3</sub> is hydroxy or optionally substituted alkoxy; or

R<sub>3</sub> is -NR<sub>4</sub>R<sub>5</sub> in which R<sub>4</sub> and R<sub>5</sub> are independently hydrogen, optionally substituted alkyl, alkenyl, alkynyl, cycloalkyl, aryl, heterocyclyl, aralkyl or heteroaralkyl;

L<sub>1</sub> is a single bond; or

WO 03/082841 PCT/EP03/03466

- 116 -

 $L_1$  is carbon which combined together with  $R_2$  and the carbon atoms to which  $L_1$  and R<sub>2</sub> are attached form an optionally substituted fused 5- or 6-membered aromatic or heteroaromatic ring provided that L<sub>1</sub> and R<sub>2</sub> are attached to carbon atoms adjacent to each other; or

L₁ is CH or nitrogen which taken together with R₂ and the carbon atoms to which L₁ and R<sub>2</sub> are attached form a fused 5- to 7-membered ring which may be interrupted with one or two heteroatoms selected from oxygen, nitrogen and sulfur provided that L<sub>1</sub> and R<sub>2</sub> are attached to carbon atoms adjacent to each other; or

L<sub>1</sub> is CH, oxygen, sulfur or nitrogen and L<sub>2</sub> is carbon which combined together with L<sub>1</sub>, R<sub>2</sub> and the carbon atoms to which L<sub>1</sub> and R<sub>2</sub> are attached form an optionally substituted fused 5- or 6-membered aromatic or heteroaromatic ring provided that L₁ and R₂ are attached to carbon atoms adjacent to each other; or

 $L_1$  is -CH<sub>2</sub>-, oxygen, sulfur or -NR<sub>6</sub>- and  $L_2$  is CH which taken together with  $L_1$ ,  $R_2$  and the carbon atoms to which L<sub>1</sub> and R<sub>2</sub> are attached form a fused 5- to 7-membered ring which may be interrupted with one or two heteroatoms selected from oxygen, nitrogen and sulfur wherein

> R<sub>6</sub> is hydrogen, optionally substituted alkyl, aralkyl, heteroaralkyl, alkoxycarbonyl, aryloxycarbonyl, carbamoyl, sulfonyl or acyl provided that L<sub>1</sub> and R<sub>2</sub> are attached to carbon atoms adjacent to each other;

 $L_2$  is -(CHR<sub>7</sub>)<sub>n</sub>- wherein

R<sub>7</sub> is hydrogen, hydroxy, alkoxy, carboxy, optionally substituted alkyl, cycloalkyl, aryl or heteroaryl;

n is zero or an integer from 1 to 4;

- Z is  $-(CHR_8)_m$ ,  $-(CH_2)_mO(CHR_8)_r$ ,  $-(CH_2)_mS(CHR_8)_r$  or  $-(CH_2)_mNR_9(CHR_8)_r$  wherein R<sub>8</sub> is hydrogen, optionally substituted alkyl, cycloalkyl, aryl or heterocyclyl; R<sub>9</sub> is hydrogen, optionally substituted alkyl, cycloalkyl, aryl, heterocyclyl, aralkyl, heteroaralkyl, alkoxycarbonyl, aryloxycarbonyl, heteroaryloxycarbonyl, carbamoyl, sulfonyl, acyl or acylamino;
  - m and r are independently zero or an integer of 1 or 2;
- Q<sub>1</sub> is hydrogen, optionally substituted alkyl, cycloalkyl, aryl or heterocyclyl provided that (i) Q<sub>1</sub> is not 2-phenyloxazol-4-yl when R<sub>1</sub> and R<sub>2</sub> are hydrogen;

X and Y each are CH;

L<sub>1</sub> is a single bond located at the 4-position;

 $L_2$  is -(CHR<sub>7</sub>)<sub>n</sub>- wherein n is zero;

L<sub>3</sub> is -(CHR)<sub>s</sub>- wherein s is zero;

Z is -(CH<sub>2</sub>)<sub>m</sub>O(CHR<sub>8</sub>)<sub>r</sub>- wherein R<sub>8</sub> is hydrogen, m is zero and r is 2; and

Q<sub>2</sub> is oxygen; or

(ii) Q<sub>1</sub> is not hydrogen when

R<sub>1</sub> and R<sub>2</sub> are hydrogen;

X and Y each are CH;

L<sub>1</sub> is a single bond;

L<sub>2</sub> is -(CHR<sub>7</sub>)<sub>n</sub>- wherein n is zero;

L<sub>3</sub> is -(CHR)<sub>s</sub>- wherein R is hydrogen and s is 1;

Z is -(CHR<sub>8</sub>)<sub>m</sub>- wherein m is zero; and

Q2 is oxygen; or

Q  $_1$  is -C(O)NR $_{4a}$ R $_{5a}$ , -C(O)R $_{10}$ , -C(O)OR $_{10}$  or -S(O) $_q$ R $_{10}$  wherein R $_{4a}$  and R $_{5a}$  are as defined for R $_4$  and R $_5$ ; R $_{10}$  is optionally substituted alkyl, cycloalkyl, aryl, heterocyclyl, aralkyl or heteroaralkyl; q is an integer of 1 or 2; or

$$-C - R_{11}$$

$$U_1 - V_1 \quad \text{wherein}$$

Q<sub>1</sub> is a radical of the formula

W1 is aryl, heteroaryl, aralkyl or heteroaralkyl; or

W<sub>1</sub> is -C(O)R<sub>3a</sub> in which R<sub>3a</sub> is hydroxy or optionally substituted alkoxy; or

R<sub>3a</sub> is -NR<sub>4a</sub>R<sub>5a</sub> in which R<sub>4a</sub> and R<sub>5a</sub> are as defined for R<sub>4</sub> and R<sub>5</sub>;

R<sub>11</sub> is hydrogen, alkyl or aryl;

 $U_1$  is  $-C(O)_-$ ,  $-S(O)_2$ - or  $-(CH_2)_r$ - in which r is as defined for Z;

V<sub>1</sub> is hydroxy, alkoxy, aryl, heteroaryl, optionally substituted alkyl or cycloalkyl; or

V<sub>1</sub> is -NR<sub>4b</sub>R<sub>5b</sub> in which R<sub>4b</sub> and R<sub>5b</sub> are as defined for R<sub>4</sub> and R<sub>5</sub> provided that

- (i) L<sub>2</sub> is -(CHR<sub>7</sub>)<sub>0</sub>- in which n is an integer of 1 or 2; and
- (ii) Z is -(CHR<sub>8</sub>)<sub>m</sub>- in which m is zero; or

Q<sub>1</sub> is a radical of the formula

W<sub>2</sub> is -C(O)R<sub>3a</sub> in which R<sub>3a</sub> is hydroxy or optionally substituted alkoxy; or

PCT/EP03/03466 WO 03/082841

- 118 -

R<sub>3a</sub> is -NR<sub>4a</sub>R<sub>5a</sub> in which R<sub>4a</sub> and R<sub>5a</sub> are as defined for R<sub>4</sub> and R<sub>5</sub>;

R<sub>11</sub> is hydrogen, alkyl or aryl;

U<sub>2</sub> is -(CH<sub>2</sub>)<sub>0</sub>- in which p is zero or 1;

 $V_2$  is -NR<sub>4b</sub>C(O)R<sub>5b</sub>, -NR<sub>4b</sub>C(O)OR<sub>5b</sub>, -NR<sub>4b</sub>C(O)NR<sub>4c</sub>R<sub>5b</sub> or -NR<sub>4b</sub>S(O)<sub>2</sub>R<sub>5b</sub> in which  $R_{4b}\, and\,\, R_{4c}$  are as defined for  $R_4,$  and  $R_{5b}$  has a meaning as defined for  $R_5$ provided that

- (i) L<sub>2</sub> is -(CHR<sub>7</sub>)<sub>n</sub>- in which n is an integer of 1 or 2; and
- (ii) Z is -(CHR<sub>8</sub>)<sub>m</sub>- in which m is zero; or

$$- \underbrace{C}_{N_{11}}^{W_3}$$

$$U_3 - V_3 \quad \text{wherein}$$

Q<sub>1</sub> is a radical of the formula

W<sub>3</sub> is -C(O)R<sub>3a</sub> in which R<sub>3a</sub> is hydroxy or optionally substituted alkoxy; or

 $R_{3a}$  is -NR<sub>4a</sub>R<sub>5a</sub> in which R<sub>4a</sub> and R<sub>5a</sub> are as defined for R<sub>4</sub> and R<sub>5</sub>;

R<sub>11</sub> is hydrogen, alkyl or aryl;

 $U_3$  is -(CH<sub>2</sub>)<sub>p</sub>- in which p is zero or 1;

V<sub>3</sub> is -NHC(O)CHR<sub>4b</sub>NHC(O)R<sub>12</sub> wherein R<sub>4b</sub> is as defined for R<sub>4</sub>; R<sub>12</sub> is hydrogen, aryl, heterocyclyl, aralkyl, heteroaralkyl, optionally substituted alkyl, alkoxy or cycloalkyl; or

R<sub>12</sub> is -NR<sub>4c</sub>R<sub>5b</sub>, in which R<sub>4c</sub> and R<sub>5b</sub> are as defined for R<sub>4</sub> and R<sub>5</sub> provided that

- (i) L<sub>2</sub> is -(CHR<sub>7</sub>)<sub>n</sub>- in which n is an integer of 1 or 2; and
- (ii) Z is -(CHR<sub>8</sub>)<sub>m</sub>- in which m is zero;

L<sub>3</sub> is -(CHR)<sub>s</sub>- wherein

R is hydrogen, carboxy, optionally substituted alkyl, cycloalkyl, aryl or heteroaryl; s is zero or an integer from 1 to 3;

Q<sub>2</sub> is oxygen, sulfur or NR<sub>13</sub> wherein

R<sub>13</sub> is hydrogen, hydroxy or lower alkyl;

X and Y are independently CH or nitrogen; or

-X=Y- is sulfur, oxygen or -NR<sub>14</sub>- wherein

R<sub>14</sub> is hydrogen, optionally substituted alkyl, alkoxycarbonyl, acyl, aryloxycarbonyl, heteroaryloxycarbonyl, carbamoyl or sulfonyl; or a pharmaceutically acceptable sait thereof; or a prodrug derivative thereof. WO 03/082841

- 119 -

2. A compound according to claim 1 wherein

Q<sub>2</sub> is oxygen;

X and Y each are CH; or

-X=Y- is sulfur;

or a pharmaceutically acceptable salt thereof; or a prodrug derivative thereof.

3. A compound according to claim 2 of the formula

$$\begin{array}{c|c}
O & & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\
& & \\$$

wherein

R<sub>1</sub> is hydrogen, halogen, hydroxy, alkoxy, trifluoromethyl, alkylthio, heteroaralkyl or heteroaralkoxy provided that R<sub>1</sub> is located at the 2-position when L<sub>3</sub> is -(CHR)<sub>s</sub>- in which s is zero; or

R<sub>1</sub> is optionally substituted alkyl, aralkyl, aralkoxy or aryloxy provided that a monocyclic aryl group which is substituted at the para position with a methylene or ethylene bridged nitrogen containing heterocycle does not constitute part of R<sub>1</sub> when

- (i) R<sub>1</sub> is located at the 2-position and L<sub>3</sub> is -(CHR)<sub>s</sub>- in which s is zero; and
- (ii) X and Y each are CH;

R<sub>2</sub> is hydrogen; or

R<sub>2</sub> is -C(O)R<sub>3</sub> wherein

R<sub>3</sub> is hydroxy or optionally substituted alkoxy; or

R<sub>3</sub> is -NR<sub>4</sub>R<sub>5</sub> in which R<sub>4</sub> and R<sub>5</sub> are independently hydrogen, optionally substituted alkyl, cycloalkyl, aryl, heterocyclyl, aralkyl or heteroaralkyl;

L<sub>1</sub> is a single bond; or

L1 is carbon which combined together with R2 and the carbon atoms to which L1 and R<sub>2</sub> are attached form an optionally substituted fused 5- or 6-membered aromatic or heteroaromatic ring provided that L<sub>1</sub> and R<sub>2</sub> are attached to carbon atoms adjacent to each other; or

L<sub>1</sub> is CH or nitrogen which taken together with R<sub>2</sub> and the carbon atoms to which L<sub>1</sub>

and R2 are attached form a fused 5- to 7-membered ring which may be interrupted with one or two heteroatoms selected from oxygen, nitrogen and sulfur provided that L1 and R2 are attached to carbon atoms adjacent to each other; or

L<sub>1</sub> is CH, oxygen, sulfur or nitrogen and L<sub>2</sub> is carbon which combined together with L<sub>1</sub>, R<sub>2</sub> and the carbon atoms to which L<sub>1</sub> and R<sub>2</sub> are attached form an optionally substituted fused 5- or 6-membered aromatic or heteroaromatic ring provided that L1 and R2 are attached to carbon atoms adjacent to each other; or

 $L_1$  is -CH<sub>2</sub>-, oxygen, sulfur or -NR<sub>6</sub>- and  $L_2$  is CH which taken together with  $L_1$ ,  $R_2$  and the carbon atoms to which L<sub>1</sub> and R<sub>2</sub> are attached form a fused 5- to 7-membered ring which may be interrupted with one or two heteroatoms selected from oxygen, nitrogen and sulfur wherein

> R<sub>6</sub> is hydrogen, optionally substituted alkyl, aralkyl, heteroaralkyl, alkoxycarbonyl, aryloxycarbonyl, carbamoyl, sulfonyl or acyl provided that L₁ and R₂ are attached to carbon atoms adjacent to each other; or

 $L_2$  is -(CHR<sub>7</sub>)<sub>0</sub>- wherein

R<sub>7</sub> is hydrogen;

n is zero or an integer of 1 or 2;

Z is -(CHR<sub>8</sub>)<sub>m</sub>-, -(CH<sub>2</sub>)<sub>m</sub>O(CHR<sub>8</sub>)<sub>r</sub>-, -(CH<sub>2</sub>)<sub>m</sub>S(CHR<sub>8</sub>)<sub>r</sub>- or -(CH<sub>2</sub>)<sub>m</sub>NR<sub>9</sub>(CHR<sub>8</sub>)<sub>r</sub>- wherein R<sub>8</sub> is hydrogen or optionally substituted alkyl;

R<sub>9</sub> is hydrogen, optionally substituted alkyl, cycloalkyl, aryl, heterocyclyl or acyl; m and r are independently zero or an integer of 1 or 2;

Q<sub>1</sub> is hydrogen, optionally substituted alkyl, cycloalkyl, aryl or heterocyclyl provided that

(i) Q<sub>1</sub> is not 2-phenyloxazol-4-yl when

R<sub>1</sub> and R<sub>2</sub> are hydrogen;

X and Y each are CH;

L<sub>1</sub> is a single bond located at the 4-position;

 $L_2$  is -(CHR<sub>7</sub>)<sub>0</sub>- wherein n is zero;

L<sub>3</sub> is -(CHR)<sub>s</sub>- wherein s is zero; and

Z is -(CH<sub>2</sub>)<sub>m</sub>O(CHR<sub>8</sub>)<sub>r</sub>- wherein R<sub>8</sub> is hydrogen, m is zero and r is 2; or

(ii) Q<sub>1</sub> is not hydrogen when

R<sub>1</sub> and R<sub>2</sub> are hydrogen;

X and Y each are CH;

L<sub>1</sub> is a single bond;

 $L_2$  is -(CHR<sub>7</sub>)<sub>n</sub>- wherein n is zero;

L<sub>3</sub> is -(CHR)<sub>s</sub>- wherein R is hydrogen and s is 1; and

Z is -(CHR<sub>8</sub>)<sub>m</sub>- wherein m is zero; or

Q<sub>1</sub> is -C(O)NR<sub>4a</sub>R<sub>5a</sub>, -C(O)R<sub>10</sub>, -C(O)OR<sub>10</sub> or -S(O)<sub>q</sub>R<sub>10</sub> wherein R<sub>4a</sub> and R<sub>5a</sub> are as defined for R<sub>4</sub> and R<sub>5</sub>; R<sub>10</sub> is optionally substituted alkyl, cycloalkyl, aryl, heterocyclyl, aralkyl or heteroaralkyl; q is an integer of 1 or 2; or

$$- \underbrace{Q^{W_1}}_{U_1 - V_1}$$
 wherein

Q<sub>1</sub> is a radical of the formula

W<sub>1</sub> is aryl, heteroaryl, aralkyl or heteroaralkyl; or

 $W_1$  is -C(O) $R_{3a}$  in which  $R_{3a}$  is hydroxy or optionally substituted alkoxy; or

 $R_{3a}$  is -NR<sub>4a</sub>R<sub>5a</sub> in which R<sub>4a</sub> and R<sub>5a</sub> are as defined for R<sub>4</sub> and R<sub>5</sub>;

R<sub>11</sub> is hydrogen, alkyl or aryl;

 $U_1$  is -C(O)- or -(CH<sub>2</sub>)<sub>r</sub>- in which r is as defined for Z;

V<sub>1</sub> is hydroxy, alkoxy, aryl, heteroaryl, optionally substituted alkyl or cycloalkyl; or

V<sub>1</sub> is -NR<sub>4b</sub>R<sub>5b</sub> in which R<sub>4b</sub> and R<sub>5b</sub> are as defined for R<sub>4</sub> and R<sub>5</sub> provided that

- (i) L<sub>2</sub> is -(CHR<sub>7</sub>)<sub>n</sub>- in which n is an integer of 1 or 2; and
- (ii) Z is -(CHR<sub>8</sub>)<sub>m</sub>- in which m is zero; or

$$- \underbrace{\nabla^{W_2}_{R_{11}}}_{U_2 - V_2 \text{ wherein}}$$

Q<sub>1</sub> is a radical of the formula

W<sub>2</sub> is -C(O)R<sub>3a</sub> in which R<sub>3a</sub> is hydroxy or optionally substituted alkoxy; or

R<sub>3a</sub> is -NR<sub>4a</sub>R<sub>5a</sub> in which R<sub>4a</sub> and R<sub>5a</sub> are as defined for R<sub>4</sub> and R<sub>5</sub>;

R<sub>11</sub> is hydrogen, alkyl or aryl;

 $U_2$  is -(CH<sub>2</sub>)<sub>p</sub>- in which p is zero or 1;

 $V_2$  is -NR<sub>4b</sub>C(O)R<sub>5b</sub>, -NR<sub>4b</sub>C(O)OR<sub>5b</sub>, -NR<sub>4b</sub>C(O)NR<sub>4c</sub>R<sub>5b</sub> or -NR<sub>4b</sub>S(O)<sub>2</sub>R<sub>5b</sub> in which R<sub>4b</sub> and R<sub>4c</sub> are as defined for R<sub>4</sub>, and R<sub>5b</sub> has a meaning as defined for R<sub>5</sub> provided that

- (i) L<sub>2</sub> is -(CHR<sub>7</sub>)<sub>n</sub>- in which n is an integer of 1 or 2; and
- (ii) Z is -(CHR<sub>8</sub>)<sub>m</sub>- in which m is zero; or

Q<sub>1</sub> is a radical of the formula

 $W_3$  is -C(O)R<sub>3a</sub> in which R<sub>3a</sub> is hydroxy or optionally substituted alkoxy; or R<sub>3a</sub> is -NR<sub>4a</sub>R<sub>5a</sub> in which R<sub>4a</sub> and R<sub>5a</sub> are as defined for R<sub>4</sub> and R<sub>5</sub>;

R<sub>11</sub> is hydrogen, alkyl or aryl;

 $U_3$  is -(CH<sub>2</sub>)<sub>p</sub>- in which p is zero or 1;

 $V_3$  is -NHC(O)CHR<sub>4b</sub>NHC(O)R<sub>12</sub> wherein R<sub>4b</sub> is as defined for R<sub>4</sub>; R<sub>12</sub> is hydrogen, aryl, heterocyclyl, aralkyl, heteroaralkyl, optionally substituted alkyl, alkoxy or cycloalkyl; or

 $R_{12}$  is -NR<sub>4c</sub>R<sub>5b</sub>, in which  $R_{4c}$  and  $R_{5b}$  are as defined for  $R_4$  and  $R_5$  provided that

- (i) L2 is -(CHR7)n- in which n is an integer of 1 or 2; and
- (ii) Z is -(CHR<sub>8</sub>)<sub>m</sub>- in which m is zero;

L<sub>3</sub> is -(CHR)<sub>s</sub>- wherein

R is hydrogen;

s is zero or an integer from 1 to 3;

X and Y each are CH; or

-X=Y- is sulfur;

or a pharmaceutically acceptable salt thereof; or a prodrug derivative thereof.

4. A compound according to claim 3 of the formula

$$\begin{array}{c} O \\ HN \\ O \end{array}$$

$$\begin{array}{c} O \\ N \\ -(CH_2)_3 \\ \hline \\ N \\ -(CH_2)_n - Z - Q_1 \end{array}$$

$$(IB)$$

wherein

R<sub>1</sub> is hydrogen, halogen, hydroxy, alkoxy, trifluoromethyl, optionally substituted alkyl, alkylthio, aralkyl, aralkoxy, aryloxy, heteroaralkyl or heteroaralkoxy;

n is zero or an integer of 1 or 2;

Z is -(CHR<sub>8</sub>)<sub>m</sub>-, -(CH<sub>2</sub>)<sub>m</sub>O(CHR<sub>8</sub>)<sub>r</sub>-, -(CH<sub>2</sub>)<sub>m</sub>S(CHR<sub>8</sub>)<sub>r</sub>- or -(CH<sub>2</sub>)<sub>m</sub>NR<sub>9</sub>(CHR<sub>8</sub>)<sub>r</sub>- wherein

- 123 -

R<sub>8</sub> is hydrogen;

R<sub>a</sub> is hydrogen, optionally substituted alkyl, cycloalkyl, aryl, heterocyclyl or acyl; m and r are independently zero or an integer of 1 or 2;

Q1 is hydrogen, optionally substituted alkyl, cycloalkyl, aryl or heterocyclyl; or

 $Q_1$  is -C(O)NR<sub>4a</sub>R<sub>5a</sub>, -C(O)R<sub>10</sub>, -C(O)OR<sub>10</sub> or -S(O)<sub>q</sub>R<sub>10</sub> wherein

R<sub>4a</sub> and R<sub>5b</sub> are independently hydrogen, optionally substituted alkyl, cycloalkyl, aryl, heterocyclyl, aralkyl or heteroaralkyl;

R<sub>10</sub> is optionally substituted alkyl, cycloalkyl, aryl, heterocyclyl, aralkyl or heteroaralkyl;

q is an integer of 1 or 2;

s is zero or an integer of 1 or 2;

Q<sub>3</sub> is O, S or -NR<sub>6a</sub>- wherein

R<sub>6a</sub> is hydrogen, optionally substituted alkyl, aralkyl, heteroaralkyl, alkoxycarbonyl, aryloxycarbonyl, carbamoyl, sulfonyl or acyl;

X and Y each are CH; or

-X=Y- is sulfur;

or a pharmaceutically acceptable salt thereof; or a prodrug derivative thereof.

5. A compound according to claim 3 of the formula

$$\begin{array}{c} O \\ HN \\ S \\ N \end{array} \begin{array}{c} O \\ (IC) \\ R_1 \\ \hline \end{array} \begin{array}{c} X \\ Q_3 \\ Z \cdot Q_1 \end{array}$$

wherein

R<sub>1</sub> is hydrogen, halogen, hydroxy, alkoxy, trifluoromethyl, optionally substituted alkyl, alkylthio, aralkyl, aralkoxy, aryloxy, heteroaralkyl or heteroaralkoxy;

Z is  $-(CHR_8)_m$ ,  $-(CH_2)_mO(CHR_8)_r$ ,  $-(CH_2)_mS(CHR_8)_r$  or  $-(CH_2)_mNR_9(CHR_8)_r$  wherein R<sub>8</sub> is hydrogen;

R<sub>9</sub> is hydrogen, optionally substituted alkyl, cycloalkyl, aryl, heterocyclyl or acyl; m and r are independently zero or an integer of 1 or 2;

Q<sub>1</sub> is hydrogen, optionally substituted alkyl, cycloalkyl, aryl or heterocyclyl; or

Q<sub>1</sub> is -C(O)NR<sub>4a</sub>R<sub>5a</sub>, -C(O)R<sub>10</sub>, -C(O)OR<sub>10</sub> or -S(O)<sub>q</sub>R<sub>10</sub> wherein R<sub>4a</sub> and R<sub>5a</sub> are independently hydrogen, optionally substituted alkyl, cycloalkyl, aryl, heterocyclyl, aralkyl or heteroaralkyl;

R<sub>10</sub> is optionally substituted alkyl, cycloalkyl, aryl, heterocyclyl, aralkyl or heteroaralkyl;

q is an integer of 1 or 2;

s is zero or an integer of 1 or 2;

Q<sub>3</sub> is O, S or -NR<sub>63</sub>- wherein

R<sub>6a</sub> is hydrogen, optionally substituted alkyl, aralkyl, heteroaralkyl, alkoxycarbonyl, aryloxycarbonyl, carbamoyl, sulfonyl or acyl;

X and Y are CH; or

-X=Y- is sulfur;

or a pharmaceutically acceptable salt thereof; or a prodrug derivative thereof.

6. A compound according to claim 3 wherein

R<sub>2</sub> is hydrogen;

L<sub>1</sub> is a single bond;

 $L_2$  is -(CH<sub>2</sub>)<sub>n</sub>- in which n is zero or an integer of 1 or 2; or a pharmaceutically acceptable salt thereof; or a prodrug derivative thereof.

7. A compound according to claim 6 of the formula

$$\begin{array}{c}
O \\
HN \\
O
\end{array}$$

$$\begin{array}{c}
O \\
N \\
-(CH_2)_s
\end{array}$$

$$\begin{array}{c}
X \\
CH_2)_n - Z - Q_1
\end{array}$$
(ID)

wherein

R<sub>1</sub> is hydrogen, halogen, hydroxy, alkoxy, trifluoromethyl or alkylthio provided that R<sub>1</sub> is located at the 2-position when s is zero; or

R<sub>1</sub> is optionally substituted alkyl, aralkyl, aralkoxy or aryloxy provided that a monocyclic aryl group which is substituted at the para position with a methylene or ethylene bridged nitrogen containing heterocycle does not constitute part of R<sub>1</sub> when

- (i) R<sub>1</sub> is located at the 2-position and s is zero; and
- (ii) X and Y each are CH;

n is zero or an integer of 1 or 2;

s is zero or 1;

Z is -(CHR<sub>8</sub>)<sub>m</sub>-, -(CH<sub>2</sub>)<sub>m</sub>O(CHR<sub>8</sub>)<sub>r</sub>-, -(CH<sub>2</sub>)<sub>m</sub>S(CHR<sub>8</sub>)<sub>r</sub>- or -(CH<sub>2</sub>)<sub>m</sub>NR<sub>9</sub>(CHR<sub>8</sub>)<sub>r</sub>- wherein R<sub>8</sub> is hydrogen;

R<sub>9</sub> is hydrogen, optionally substituted alkyl, cycloalkyl, aryl, heteroaryl or acyl; m and r are independently zero or an integer of 1 or 2;

 $\mathsf{Q}_1$  is hydrogen, optionally substituted alkyl, cycloalkyl, aryl or heterocyclyl provided that

(i) Q<sub>1</sub> is not 2-phenyloxazol-4-yl when

R<sub>1</sub> is hydrogen;

X and Y each are CH;

n is zero;

s is zero; and

Z is -(CH<sub>2</sub>)<sub>m</sub>O(CHR<sub>8</sub>)<sub>r</sub>- wherein R<sub>8</sub> is hydrogen, m is zero and r is 2; or

(ii) Q<sub>1</sub> is not hydrogen when

R<sub>1</sub> is hydrogen;

X and Y each are CH;

n is zero;

s is 1;

Z is -(CHR<sub>8</sub>)<sub>m</sub>- wherein m is zero; or

 $Q_1$  is -C(O)NR4aR5a, -C(O)R10, -C(O)OR10 or -S(O)aR10 wherein

 $R_{4a}$  and  $R_{5a}$  are independently hydrogen, optionally substituted alkyl, cycloalkyl, aryl, heterocyclyl, aralkyl or heteroaralkyl;

R<sub>10</sub> is optionally substituted alkyl, cycloalkyl, aryl, heterocyclyl, aralkyl or heteroaralkyl;

q is an integer of 1 or 2; or

Q<sub>1</sub> is a radical of the formula

W<sub>1</sub> is aryl, heteroaryl, aralkyl or heteroaralkyl; or

 $W_1$  is -C(O)R<sub>3a</sub> in which R<sub>3a</sub> is hydroxy or optionally substituted alkoxy; or R<sub>3a</sub> is -NR<sub>4a</sub>R<sub>5a</sub> in which R<sub>4a</sub> and R<sub>5a</sub> are independently hydrogen, optionally substituted alkyl, cycloalkyl, aryl, heterocyclyl, aralkyl or heteroaralkyl;

R<sub>11</sub> is hydrogen, alkyl or aryl;

 $U_1$  is -C(O)- or -(CH<sub>2</sub>)<sub>r</sub>- in which r is as defined for Z;

 $V_1$  is hydroxy, alkoxy, aryl, heteroaryl, optionally substituted alkyl or cycloalkyl; or  $V_1$  is -NR<sub>4b</sub>R<sub>5b</sub> in which R<sub>4b</sub> and R<sub>5b</sub> are as defined for R<sub>4a</sub> and R<sub>5a</sub> provided that

- (i) n is an integer of 1 or 2; and
- (ii) Z is -(CHR<sub>8</sub>)<sub>m</sub>- in which m is zero; or

$$- \underbrace{C - R_{11}}_{U_2 - V_2}$$
 wherein

Q<sub>1</sub> is a radical of the formula

 $W_2$  is -C(O)R<sub>3a</sub> in which R<sub>3a</sub> is hydroxy or optionally substituted alkoxy; or R<sub>3a</sub> is -NR<sub>4a</sub>R<sub>5a</sub> in which R<sub>4a</sub> and R<sub>5a</sub> are independently hydrogen, optionally substituted alkyl, cycloalkyl, aryl, heterocyclyl, aralkyl or heteroaralkyl;

R<sub>11</sub> is hydrogen, alkyl or aryl;

 $U_2$  is -(CH<sub>2</sub>)<sub>p</sub>- in which p is zero or 1;

 $V_2$  is -NR<sub>4b</sub>C(O)R<sub>5b</sub>, -NR<sub>4b</sub>C(O)OR<sub>5b</sub>, -NR<sub>4b</sub>C(O)NR<sub>4c</sub>R<sub>5b</sub> or -NR<sub>4b</sub>S(O)<sub>2</sub>R<sub>5b</sub> in which R<sub>4b</sub> and R<sub>4c</sub> are as defined for R<sub>4a</sub>, and R<sub>5b</sub> has a meaning as defined for R<sub>5a</sub> provided that

- (i) n is an integer of 1 or 2; and
- (ii) Z is -(CHR<sub>8</sub>)<sub>m</sub>- in which m is zero; or

Q<sub>1</sub> is a radical of the formula

 $W_3$  is -C(O) $R_{3a}$  in which  $R_{3a}$  is hydroxy or optionally substituted alkoxy; or  $R_{3a}$  is -NR<sub>4a</sub>R<sub>5a</sub> in which R<sub>4a</sub> and R<sub>5a</sub> are independently hydrogen, optionally substituted alkyl, cycloalkyl, aryl, heterocyclyl, aralkyl or heteroaralkyl;

R<sub>11</sub> is hydrogen, alkyl or aryl;

 $U_3$  is -(CH<sub>2</sub>)<sub>r</sub>- in which r is zero or 1;

 $V_3$  is -NHC(O)CHR<sub>4b</sub>NHC(O)R<sub>12</sub> wherein R<sub>4b</sub> is as defined for R<sub>4a</sub>; R<sub>12</sub> is hydrogen, aryl, heterocyclyl, aralkyl, heteroaralkyl, optionally substituted alkyl, alkoxy or cycloalkyl; or

 $R_{12}$  is -NR<sub>4c</sub>R<sub>5b</sub> in which R<sub>4c</sub> is as defined for R<sub>4a</sub>, and R<sub>5b</sub> has a meaning as defined for R<sub>5a</sub> provided that

- (i) n is an integer of 1 or 2; and
- (ii) Z is -(CHR<sub>8</sub>)<sub>m</sub>- in which m is zero;

X and Y each are CH; or

-X=Y- is sulfur:

or a pharmaceutically acceptable salt thereof; or a prodrug derivative thereof.

8. A compound according to claim 7 wherein

-X=Y- is sulfur;

or a pharmaceutically acceptable salt thereof; or a prodrug derivative thereof.

9. A compound according to claim 7 wherein

R<sub>1</sub> is bromide;

X and Y each are CH;

or a pharmaceutically acceptable salt thereof; or a prodrug derivative thereof.

10. A compound according to claim 7 wherein

n is zero;

s is 1;

Z is -(CH<sub>2</sub>)<sub>m</sub>- in which m is zero;

 $Q_1$  is -C(O)NR<sub>4a</sub>R<sub>5a</sub>, -C(O)R<sub>10</sub>, -C(O)OR<sub>10</sub> or -S(O)<sub>q</sub>R<sub>10</sub> wherein

 $R_{4a}$  and  $R_{5a}$  are independently hydrogen, optionally substituted alkyl, cycloalkyl, aryl, heterocyclyl, aralkyl or heteroaralkyl;

R<sub>10</sub> is optionally substituted alkyl, cycloalkyl, aryl, heterocyclyl, aralkyl or heteroaralkyl;

q is an integer of 1 or 2;

or a pharmaceutically acceptable salt thereof; or a prodrug derivative thereof.

11. A compound according to claim 7 wherein

n is an integer of 1 or 2:

Z is  $-(CH_2)_m$ -,  $-(CH_2)_mO(CH_2)_r$ - or  $-(CH_2)_mS(CH_2)_r$ - wherein m is zero;

r is zero or 1;

Q<sub>1</sub> is optionally substituted alkyl, cycloalkyl, aryl or heterocyclyl;

or a pharmaceutically acceptable salt thereof; or a prodrug derivative thereof.

12. A compound according to claim 7 wherein

n is an integer of 1 or 2;

Z is  $-(CH_2)_mNR_9(CH_2)_{r}$  wherein

R<sub>9</sub> is hydrogen, optionally substituted alkyl, cycloalkyl, aryl, heteroaryl or acyl; m is zero;

r is zero or 1;

Q1 is optionally substituted alkyl, cycloalkyl, aryl or heterocyclyl; or

 $Q_1$  is -C(O)NR<sub>4a</sub>R<sub>5a</sub>, -C(O)R<sub>10</sub>, -C(O)OR<sub>10</sub> or -S(O)<sub>q</sub>R<sub>10</sub> wherein

 $R_{4a}$  and  $R_{5a}$  are independently hydrogen, optionally substituted alkyl, cycloalkyl, aryl, heterocyclyl, aralkyl or heteroaralkyl;

 $R_{10}$  is optionally substituted alkyl, cycloalkyl, aryl, heterocyclyl, aralkyl or heteroaralkyl;

q is an integer of 1 or 2;

or a pharmaceutically acceptable salt thereof; or a prodrug derivative thereof.

13. A compound according to claim 7 wherein

n is an integer of 1 or 2;

Z is -(CH<sub>2</sub>)<sub>m</sub>- wherein m is zero;

Q<sub>1</sub> is a radical of the formula

W<sub>1</sub> is aryl, heteroaryl, aralkyl or heteroaralkyl;

R<sub>11</sub> is hydrogen, alkyl or aryl;

 $U_1$  is -C(O)- or -(CH<sub>2</sub>)<sub>r</sub>- in which r is zero;

V<sub>1</sub> is aryl, heteroaryl, optionally substituted alkyl or cycloalkyl;

or a pharmaceutically acceptable salt thereof; or a prodrug derivative thereof.

14. A compound according to claim 7 wherein

n is 1;

Z is -(CH<sub>2</sub>)<sub>m</sub>- wherein m is zero;

$$- \underbrace{C - R_{11}}_{U_2 - V_2} V_2$$
 wherein

Q<sub>1</sub> is a radical of the formula

- 129 -

 $W_2$  is -C(O)R<sub>3a</sub> in which R<sub>3a</sub> is -NR<sub>4a</sub>R<sub>5a</sub>, and R<sub>4a</sub> and R<sub>5a</sub> are independently hydrogen, optionally substituted alkyl, cycloalkyl, aryl, heterocyclyl, aralkyl or heteroaralkyl;

R<sub>11</sub> is hydrogen;

 $U_2$  is -(CH<sub>2</sub>)<sub>p</sub>- in which p is zero;

 $V_2$  is -NR<sub>4b</sub>C(O)R<sub>5b</sub>, -NR<sub>4b</sub>C(O)OR<sub>5b</sub>, -NR<sub>4b</sub>C(O)NR<sub>4c</sub>R<sub>5b</sub> or -NR<sub>4b</sub>S(O)<sub>2</sub>R<sub>5b</sub> in which R<sub>4b</sub> and R<sub>4c</sub> are as defined for R<sub>4a</sub>, and R<sub>5b</sub> has a meaning as defined for R<sub>5a</sub>; or a pharmaceutically acceptable salt thereof; or a prodrug derivative thereof.

## 15. A compound according to claim 7 wherein

n is 1;

Z is  $-(CH_2)_m$ - wherein m is zero;

$$-C \stackrel{W_3}{-R_{11}}$$
 $U_3 \stackrel{V_3}{-V_3}$  wherein

Q<sub>1</sub> is a radical of the formula

 $W_3$  is -C(O)R<sub>3a</sub> in which R<sub>3a</sub> is -NR<sub>4a</sub>R<sub>5a</sub>, and R<sub>4a</sub> and R<sub>5a</sub> are independently hydrogen, optionally substituted alkyl, cycloalkyl, aryl, heterocyclyl, aralkyl or heteroaralkyl;

R<sub>11</sub> is hydrogen;

 $U_3$  is -(CH<sub>2</sub>)<sub>0</sub>- in which p is zero;

 $V_3$  is -NHC(O)CHR<sub>4b</sub>NHC(O)R<sub>12</sub> wherein R<sub>4b</sub> is as defined for R<sub>4a</sub>; R<sub>12</sub> is hydrogen, aryl, heterocyclyl, aralkyl, heteroaralkyl, optionally substituted alkyl or alkoxy; or

 $R_{12}$  is -NR<sub>4c</sub>R<sub>5b</sub> in which R<sub>4c</sub> and R<sub>5b</sub> are as defined for R<sub>4a</sub> and R<sub>5a</sub>; or a pharmaceutically acceptable salt thereof; or a prodrug derivative thereof.

## 16. A compound according to claim 1 which is selected from:

5-Naphthalen-1-ylmethyl-1,1-dioxo-1,2,5-thiadiazolidin-3-one;

N-[3-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzyl]-acetamide;

[3-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzyl]-carbamic acid *t*-butyl ester; 5-(4-Aminomethyl-benzyl)-1,1-dioxo-1,2,5-thiadiazolidin-3-one;

N-[4-(1.1.4-Trioxo-1,2.5-thiadiazolidin-2-ylmethyl)-benzyl]-acetamide;

[4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzyl]-carbamic acid t-butyl ester;

 ${\it 3-Phenyl-N-[4-(1,1,4-trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzyl]-propionamide;}\\$ 

5-(3-lodo-benzyl)-1,1-dioxo-1,2,5-thiadiazolidin-3-one;

- 5-(3-Nitro-benzyl)-1,1-dioxo-1,2,5-thiadiazolidin-3-one;
- 5-(3-Amino-benzyl)-1,1-dioxo-1,2,5-thiadiazolidin-3-one;
- N-[3-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-phenyl]-acetamide;
- 1,1-Dioxo-5-pyridin-4-ylmethyl-1,2,5-thiadiazolidin-3-one;
- 5-(4-Amino-benzyl)-1,1-dioxo-1,2,5-thiadiazolidin-3-one;
- N-[3-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-phenyl]-butyramide;
- 1-Propyl-3-[3-(1,1,4-trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-phenyl]-urea;
- 4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid methyl ester;
- 4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid;
- 2-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid;
- 5-(2-Methyl-benzyl)-1,1-dioxo-1,2,5-thiadiazolidin-3-one;
- 1,1-Dioxo-5-pyridin-3-ylmethyl-1,2,5-thiadiazolidin-3-one;
- 1,1-Dioxo-5-pyridin-2-ylmethyl-1,2,5-thiadiazolidin-3-one;
- 5-(6-Amino-pyridin-3-ylmethyl)-1,1-dioxo-1,2,5-thiadiazolidin-3-one;
- 1,1-Dioxo-5-thiophen-2-ylmethyl-1,2,5-thiadiazolidin-3-one;
- 5-(4-Methoxy-benzyl)-1,1-dioxo-1,2,5-thiadiazolidin-3-one;
- 5-(4-Amino-2-bromo-benzyl)-1,1-dioxo-1,2,5-thiadiazolidin-3-one;
- N-[4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-phenyl]-acetamide;
- N-[4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-phenyl]-methanesulfonamide;
- N-[4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzyl]-methanesulfonamide;
- 5-(4-Methyl-benzyl)-1,1-dioxo-1,2,5-thiadiazolidin-3-one;
- Amino-[4-(1,1,4-trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-phenyl]-acetic acid;
- 2-Amino-N-propyl-2-[2-(1,1,4-trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-phenyl]-acetamide;
- 2-Amino-N-propyl-2-[4-(1,1,4-trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-phenyl]-acetamide;
- 2,2,2-Trifluoro-N-{propylcarbamoyl-[4-(1,1,4-trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-phenyl]-methyl}-acetamide;
- 2-Methanesulfonylamino-N-propyl-2-[4-(1,1,4-trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-phenyl]-acetamide;
- 2-Acetylamino-N-propyl-3-[4-(1,1,4-trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-phenyl]-propionamide;
- 2-Acetylamino-2-[4-(1,1,4-trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzyl]-malonic acid diethyl ester;

- 2-Amino-N-propyl-3-[4-(1,1,4-trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-phenyl]propionamide;
- 2-Acetylamino-3-[4-(1,1,4-trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-phenyl]-propionic acid ethyl ester;

Phenyl-(1,1,4-trioxo-1,2,5-thiadiazolidin-2-yl)-acetic acid;

- 1,1-Dioxo-5-phenethyl-1,2,5-thiadiazolidin-3-one;
- 5-[2-(4-Methyl-thiazol-5-yl)-ethyl]-1,1-dioxo-1,2,5-thiadiazolidin-3-one;
- 5-[2-(3,4-Dimethoxy-phenyl)-ethyl]-1,1-dioxo-1,2,5-thiadiazolidin-3-one;
- 5-[2-(2-Chloro-phenyl)-ethyl]-1,1-dioxo-1,2,5-thiadiazolidin-3-one;
- 5-[2-(4-Amino-phenyl)-ethyl]-1,1-dioxo-1,2,5-thiadiazolidin-3-one;
- 2,2,2-Trifluoro-N-{4-[2-(1,1,4-trioxo-1,2,5-thiadiazolidin-2-yl)-ethyl]-phenyl}-acetamide;
- N-{4-[2-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-yl)-ethyl]-phenyl}-butyramide;
- 1,1-Dioxo-5-(2-pyridin-3-yl-ethyl)-1,2,5-thiadiazolidin-3-one;
- 1,1-Dioxo-5-(2-pyridin-4-yl-ethyl)-1,2,5-thiadiazolidin-3-one;
- 3-Phenyl-2-(1,1,4-trioxo-1,2,5-thiadiazolidin-2-yl)-propionic acid;
- 5-[2-(3-Amino-phenyl)-ethyl]-1,1-dioxo-1,2,5-thiadiazolidin-3-one;
- 5-(4-Aminomethyl-naphthalen-1-ylmethyl)-1,1-dioxo-1,2,5-thiadiazolidin-3-one;
- 5-(1-Ethyl-2-methyl-1H-benzimidazol-5-ylmethyl)-1,1-dioxo-1,2,5-thiadiazolidin-3-one;
- 5-[2-Methyl-1-(3-methyl-butyl)-1H-benzimidazol-5-ylmethyl]-1,1-dioxo-1,2,5thiadiazolidin-3-one;
  - 5-(4-Methoxy-quinolin-7-ylmethyl)-1,1-dioxo-1,2,5-thiadiazolidin-3-one;
  - 5-(4-Isobutoxy-quinolin-7-ylmethyl)-1,1-dioxo-1,2,5-thiadiazolidin-3-one;
- {(1-Butylcarbamoyl-3-phenyl-propyl)-[4-(1,1,4-trioxo-1,2,5-thiadiazolidin-2-ylmethyl)benzoyl]-amino}-acetic acid;
- {[Butylcarbamoyl-(4-ethyl-phenyl)-methyl]-[4-(1,1,4-trioxo-1,2,5-thiadiazolidin-2ylmethyl)-benzoyl]-amino}-acetic acid;
- {{Butylcarbamoyl-(3-phenoxy-phenyl)-methyl}-[4-(1,1,4-trioxo-1,2,5-thiadiazolidin-2ylmethyl)-benzoyl]-amino}-acetic acid;
- {{Butylcarbamoyl-(4-methoxy-phenyl)-methyl]-[4-(1,1,4-trioxo-1,2,5-thiadiazolidin-2ylmethyl)-benzoyl]-amino}-acetic acid;
- {{(2-Bromo-phenyl)-butylcarbamoyl-methyl}-{4-(1,1,4-trioxo-1,2,5-thiadiazolidin-2ylmethyl)-benzoyl]-amino}-acetic acid;
- (Butylcarbamoyl-naphthalen-2-yl-methyl)-[4-(1,1,4-trioxo-1,2,5-thiadiazolidin-2vlmethyl)-benzoyl]-amino}-acetic acid;

- {[Butylcarbamoyl-(4-chloro-phenyl)-methyl]-[4-(1,1,4-trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoyl]-amino}-acetic acid;
- {[(3-Benzyloxy-phenyl)-butylcarbamoyl-methyl]-[4-(1,1,4-trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoyl]-amino}-acetic acid;
- {((E)-1-Butylcarbamoyl-3-phenyl-allyl)-[4-(1,1,4-trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoyl]-amino}-acetic acid;
- N-(1-Butylcarbamoyl-3-phenyl-propyl)-N-(4-(1,1,4-trioxo-1,2,5-thiazodiazolidin-2-ylmethyl)-benzoyl)-amino-acetic acid;
- 4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid 4-methanesulfonylbenzyl ester;
  - 4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid 3-chloro-benzyl ester;
  - 4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid 4-butyl-benzyl ester;
- 4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid 4-hydroxymethyl-benzyl ester;
  - 4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid 2-phenethyl-benzyl ester;
- 4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid biphenyl-2-ylmethyl ester:
- 4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid 4-difluoromethoxy-benzyl ester;
- 4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid 5-(carboxy-difluoromethyl)-thiophen-2-ylmethyl ester;
- [4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-phenylmethanesulfonyl]-acetic acid ethyl ester;
  - [4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzylsulfanyl]-acetic acid ethyl ester;
  - 5-[4-(3-Methyl-butylsulfanylmethyl)-benzyl]-1,1-dioxo-1,2,5-thiadiazolidin-3-one;
  - 4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid 2-ethyl-butyl ester;
  - 4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid cyclobutylmethyl ester;
  - 4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid cyclopentylmethyl ester;
  - 4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid 2-methyl-pentyl ester;
- 4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid 2,4,4-trimethyl-pentyl ester;
  - 4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid cyclohexylmethyl ester;
  - 4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid 1,2-dimethyl-propyl ester;
  - 4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid cyclopentyl ester;

WO 03/082841 PCT/EP03/03466

- 4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid 2-methyl-butyl ester;
- 4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid 2-methylsulfanyl-ethyl ester;
- 4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid 2-carboxymethylsulfanylethyl ester;
- 4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid 5-nitro-furan-2-ylmethyl ester;
  - 4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid pyridin-2-ylmethyl ester;
- 4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid 3-hydroxymethyl-benzyl ester;
- 4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid 3-methanesulfonyl-benzyl ester;
- (4-{4-[4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoylamino]-butyl}-phenyl)-acetic acid:
- (4-{3-[4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoylamino]-propyl}-phenyl)-acetic acid;
- 4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid 5-dimethylaminomethyl-furan-2-ylmethyl ester;
- (S)-2-Acetylamino-N-{(S)-1-pentylcarbamoyl-2-[3-(1,1,4-trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-phenyl]-ethyl}-3-phenyl-propionamide;
  - 5-(1H-Indol-5-ylmethyl)-1,1-dioxo-1,2,5-thiadiazolidin-3-one;
  - 1,1-Dioxo-5-(3,4,5-trimethoxy-benzyl)-1,2,5-thiadiazolidin-3-one;
  - 5-[4-(4-Benzyl-piperazin-1-ylmethyl)-benzyl]-1,1-dioxo-1,2,5-thiadiazolidin-3-one;
  - [4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-phenyl]-acetic acid;
  - 5-(4-Benzoyl-benzyl)-1,1-dioxo-1,2,5-thiadiazolidin-3-one;
  - 5-Naphthalen-2-ylmethyl-1,1-dioxo-1,2,5-thiadiazolidin-3-one;
  - 5-[4-(4-Methyl-pentanoyl)-benzyl]-1,1-dioxo-1,2,5-thiadiazolidin-3-one;
  - 5-[3-(2-Fluoro-phenoxy)-benzyl]-1,1-dioxo-1,2,5-thiadiazolidin-3-one;
  - 3-{2-[4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-phenyl]-ethoxy}-benzoic acid;
  - 1-(3-Methyl-butyl)-6-(1,1,4-trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-1H-quinolin-2-one;
- 5-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-thiophene-2-carboxylic acid methyl-phenethyl-amide;
- 5-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-thiophene-2-carboxylic acid (2-thiophen-2-yl-ethyl)-amide;

- 5-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-thiophene-2-carboxylic acid phenethylamide;
- [4-(2-{[5-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-thiophene-2-carbonyl]-amino}-ethyl)-phenyl]-acetic acid;
- 5-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-thiophene-2-carboxylic acid 4-carboxybenzyl ester;
- 5-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-thiophene-2-carboxylic acid isobutyl ester:
- 5-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-thiophene-2-carboxylic acid isobutylamide;
  - 2-Amino-N-[4-(1,1,4-trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzyl]-acetamide;
  - 4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid 4-carboxy-benzyl ester;
  - 1,1-Dioxo-5-(3-phenoxy-benzyl)-1,2,5-thiadiazolidin-3-one;
  - 3-Nitro-4-(1,1,4-trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid;
  - 5-(4-Hydroxymethyl-benzyl)-1,1-dioxo-1,2,5-thiadiazolidin-3-one;
  - 2-Amino-4-(1,1,4-trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid methyl ester;
  - 5-(4-Hydroxy-benzyl)-1,1-dioxo-1,2,5-thiadiazolidin-3-one;
  - 5-Nitro-2-(1,1,4-trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid;
  - 5-Amino-2-(1,1,4-trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid;
  - 5-(4-Chloro-3-methoxy-5-nitro-benzyl)-1,1-dioxo-1,2,5-thiadiazolidin-3-one;
  - 5-(2-Nitro-benzyl)-1,1-dioxo-1,2,5-thiadiazolidin-3-one;
  - 5-(3-Methyl-2-nitro-benzyl)-1,1-dioxo-1,2,5-thiadiazolidin-3-one;
  - 5-(3-Methyl-benzyl)-1,1-dioxo-1,2,5-thiadiazolidin-3-one;
  - 1.1-Dioxo-5-(3-phenyl-propyl)-1,2,5-thiadiazolidin-3-one;
  - 5-(4-Butoxy-benzyl)-1,1-dioxo-1,2,5-thiadiazolidin-3-one;
  - 1.1-Dioxo-5-(2-trifluoromethyl-benzyl)-1,2,5-thiadiazolidin-3-one;
  - 3-Amino-4-(1,1,4-trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid;
  - 4-[5-Amino-2-(1,1,4-trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-phenyl]-butyric acid;
  - 5-(2-Methyl-3-nitro-benzyl)-1,1-dioxo-1,2,5-thiadiazolidin-3-one;
  - 5-(4-Methyl-3-nitro-benzyl)-1,1-dioxo-1,2,5-thiadiazolidin-3-one;
  - 5-(5-Methyl-2-nitro-benzyl)-1,1-dioxo-1,2,5-thiadiazolidin-3-one;
  - 5-(2-Amino-benzyl)-1,1-dioxo-1,2,5-thiadiazolidin-3-one;
  - 2-[4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzyl]-isoindole-1,3-dione;
  - 2-[3-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzyl]-isoindole-1,3-dione;

PCT/EP03/03466 WO 03/082841

5.5'-[1.4-Phenylenebis(methylene)bis[1,2,5-thiadiazolidine-3-one], 1,1-dioxide:

- 135 -

- N-[2-(1.1.4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-phenyl]-oxalamic acid;
- 5-(3-Hydroxy-benzyl)-1,1-dioxo-1,2,5-thiadiazolidin-3-one;
- 2-Amino-4-(1,1,4-trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid:
- 5-[5-(4-Nitro-phenyl)-furan-2-ylmethyl]-1,1-dioxo-1,2,5-thiadiazolidin-3-one;
- 5-(4-Fluoro-2-trifluoromethyl-benzyl)-1,1-dioxo-1,2,5-thiadiazolidin-3-one;
- 5-(3-Hydroxymethyl-benzyl)-1,1-dioxo-1,2,5-thiadiazolidin-3-one;
- 5-(3-Amino-5-hydroxymethyl-benzyl)-1,1-dioxo-1,2,5-thiadiazolidin-3-one;
- 5-(3-Amino-4-methyl-benzyl)-1,1-dioxo-1,2,5-thiadiazolidin-3-one;
- 5-(2-Amino-3-methyl-benzyl)-1,1-dioxo-1,2,5-thiadiazolidin-3-one;
- 5-(3-Amino-2-methyl-benzyl)-1,1-dioxo-1,2,5-thiadiazolidin-3-one;
- 5-(2-Amino-5-methyl-benzyl)-1,1-dioxo-1,2,5-thiadiazolidin-3-one;
- 2.2.2-Trifluoro-N-[4-(1,1,4-trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzyl]-acetamide;
- 4-(1.1.4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-pyridine-2-carbonitrile;
- 4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-pyridine-2-carboxylic acid ethyl ester;
- 5-(3.4-Dimethoxy-benzyl)-1.1-dioxo-1,2,5-thiadiazolidin-3-one;
- 5-(3-Amino-5-hydroxy-benzyl)-1,1-dioxo-1,2,5-thiadiazolidin-3-one;
- 5-(3,5-Dimethyl-benzyl)-1,1-dioxo-1,2,5-thiadiazolidin-3-one;
- (S)-3-Phenyl-2-[3-(1,1,4-trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzylamino]-propionic acid ethyl ester;
- (S)-3-Phenyl-2-[4-(1,1,4-trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzylamino]-propionic acid ethyl ester;
  - 2-Amino-5-(1,1,4-trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid methyl ester;
- 2-Acetylamino-4-(1,1,4-trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid methyl ester:
  - 5-(2-Benzyl-benzyl)-1,1-dioxo-1,2,5-thiadiazolidin-3-one;
  - 5-(2,4-Bis-trifluoromethyl-benzyl)-1,1-dioxo-1,2,5-thiadiazolidin-3-one;
  - 1.1-Dioxo-5-(2,4,6-trifluoro-benzyl)-1,2,5-thiadiazolidin-3-one;
  - 5-(2-Bromo-benzyl)-1,1-dioxo-1,2,5-thiadiazolidin-3-one;
- 5,5'-[[1,1'-biphenyl]-2,2'-diyl]bis(methylene)bis[1,2,5-Thiadiazolidine-3-one]. 1,1dioxide;
  - 5-(4-Ethylaminomethyl-benzyl)-1,1-dioxo-1,2,5-thiadiazolidin-3-one;
  - 2-Acetylamino-4-(1,1,4-trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid;
  - 2-Amino-4-(1,1,4-trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid ethyl ester;

PCT/EP03/03466 WO 03/082841

- 136 -

- 1.1-Dioxo-5-[4-(phenethylamino-methyl)-benzyl]-1,2,5-thiadiazolidin-3-one;
- 5-(4-Diethylaminomethyl-benzyl)-1,1-dioxo-1,2,5-thiadiazolidin-3-one;
- 2-Amino-4-(1,1,4-trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid benzyl ester;
- N-Benzyl-4-(1,1,4-trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzamide;
- 5-(5-Dimethylaminomethyl-furan-2-ylmethyl)-1,1-dioxo-1,2,5-thiadiazolidin-3-one;
- N-[2-(3-Trifluoromethyl-phenyl)-ethyl]-4-(1,1,4-trioxo-1,2,5-thiadiazolidin-2-ylmethyl)benzamide:
  - N-(3-Methyl-butyl)-4-(1,1,4-trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzamide;
  - (S)-3-Phenyl-2-(1,1,4-trioxo-1,2,5-thiadiazolidin-2-yl)-propionic acid;
  - (R)-3-Phenyl-2-(1,1,4-trioxo-1,2,5-thiadiazolidin-2-yl)-propionic acid;
  - 4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid benzyl ester;
  - [4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-phenoxy]-acetic acid;
  - 4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid isobutyl ester;
  - 2-Amino-4-(1,1,4-trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid isobutyl ester;
  - [4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-phenoxy]-acetic acid methyl ester:
- 4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid 4-carboxymethoxybenzyl ester:
  - 5-(5-Aminomethyl-thiophen-2-ylmethyl)-1,1-dioxo-1,2,5-thiadiazolidin-3-one;
- 4-{2-[4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzylamino]-ethyl}-benzoic acid:
  - [4-(1.1.4-Trioxo-1.2.5-thiadiazolidin-2-ylmethyl)-phenoxyl-acetic acid isobutyl ester;
  - [4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-phenoxy]-acetic acid benzyl ester;
  - N-Isobutyl-4-(1,1,4-trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzamide;
  - 5-(5-Diethylaminomethyl-thiophen-2-ylmethyl)-1,1-dioxo-1,2,5-thiadiazolidin-3-one;
- 4-(2-{[5-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-thiophen-2-ylmethyl]-amino}ethyl)-benzoic acid;
  - 3-Nitro-4-(1,1,4-trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid methyl ester;
  - 3-Nitro-4-(1,1,4-trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid ethyl ester;
  - 3-Nitro-4-(1,1,4-trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid isobutyl ester;
  - 5-(4-Ethoxy-benzyl)-1,1-dioxo-1,2,5-thiadiazolidin-3-one;
  - 1,1-Dioxo-5-(3-trifluoromethyl-benzyl)-1,2,5-thiadiazolidin-3-one;
- 4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid 4-carboxymethyl-benzyl ester:
  - 4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid phenethyl ester;

- 4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid 2-phenylamino-ethyl ester;
- 4-(1.1.4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid 2-(3-methoxy-phenyl)ethyl ester;
- 4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid 4-(1,1,4-trioxo-1,2,5thiadiazolidin-2-ylmethyl)-benzyl ester;
  - 4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid 2,2-dimethyl-propyl ester;
- 4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid 2-methoxycarbonyl-2methyl-propyl ester;
- 4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid 2,2,4-trimethyl-pentyl ester;
- 4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid 3-dimethylamino-2,2dimethyl-propyl ester;
- 4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid (3aR,4S,5R,6aS)-5benzovloxy-2-oxo-hexahydro-cyclopenta[b]furan-4-ylmethyl ester;
- 6-{[5-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-thiophen-2-ylmethyl]-amino}hexanoic acid;
- 5-{5-[(3-Methyl-butylamino)-methyl]-thiophen-2-ylmethyl}-1,1-dioxo-1,2,5thiadiazolidin-3-one;
- 4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid 3-methyl-4-nitro-benzyl ester;
- 4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid 3-chloro-4-methyl-benzyl ester:
- 5-[5-(Isobutylamino-methyl)-thiophen-2-ylmethyl]-1,1-dioxo-1,2,5-thiadiazolidin-3-one; 4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid 5-ethoxycarbonyl-pentyl ester;
- 4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid 2-(3-chloro-phenyl)-ethyl ester;
  - 4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid 2-m-tolyl-ethyl ester;
- 4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid 2-(3-trifluoromethylphenyl)-ethyl ester;
- (R)-3-Phenyl-2-[4-(1,1,4-trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzylamino]-propionic acid ethyl ester;
  - 5-[4-(Benzylamino-methyl)-benzyl]-1,1-dioxo-1,2,5-thiadiazolidin-3-one;

WO 03/082841 PCT/EP03/03466

- 138 -

- 4-(1.1.4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid 4-methyl-benzyl ester;
- 4-Methyl-6-{[5-(1,1,4-trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-thiophen-2-ylmethyl]-amino}-hexanoic acid;
- 4-[(1,1,4-trioxido-1,2,5-thiadiazolidin-2-yl)methyl]-benzoic acid [4-(methoxycarbonyl)-phenyl]methyl ester;
- 4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid 2-cyclohexyl-2-methyl-propyl ester;
  - 4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid 2-phenoxy-propyl ester;
- 4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid 4-trifluoromethyl-benzyl ester:
- 4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid 3-trifluoromethyl-benzyl ester:
- 4-[(1,1,4-trioxido-1,2,5-thiadiazolidin-2-yl)methyl]-benzoic acid 2-(4-carboxyphenyl)ethyl ester;
  - 5-[5-(3-Methyl-butyryl)-thiophen-2-ylmethyl]-1,1-dioxo-1,2,5-thiadiazolidin-3-one;
- 3-[[[4-[(1,1,4-Trioxido-1,2,5-thiadiazolidin-2-yl)methyl]benzoyl]-oxy]methyl]benzoic acid;
  - 5-[4-(Isobutylamino-methyl)-benzyl]-1,1-dioxo-1,2,5-thiadiazolidin-3-one;
  - 5-{4-[(2,2-Dimethyl-propylamino)-methyl]-benzyl}-1,1-dioxo-1,2,5-thiadiazolidin-3-one;
- 4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid naphthalen-1-ylmethyl ester;
  - 4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid 4-nitro-benzyl ester;
- (4-{2-[4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoylamino]-ethyl}-phenyl)-acetic acid:
  - 5-[5-(4-Methyl-pentanoyl)-thiophen-2-ylmethyl]-1,1-dioxo-1,2,5-thiadiazolidin-3-one;
  - 5-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-thiophene-2-carboxylic acid;
  - 4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid 3-nitro-benzyl ester;
- 4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid 3-(carboxymethyl-amino)-2,2-dimethyl-propyl ester;
- 5-[4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoyloxymethyl]-thiophene-2-carboxylic acid;
  - 5-[4-(4-Benzyl-piperazin-1-ylmethyl)-benzyl]-1,1-dioxo-1,2,5-thiadiazolidin-3-one;
- 4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid biphenyl-4-ylmethyl ester;

WO 03/082841 PCT/EP03/03466

- 4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid 4-acetylamino-benzyl ester;
  - 4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid 2-benzyl-benzyl ester;
  - 4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid 2-methyl-benzyl ester;
- 4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid 2-methyl-3-nitro-benzyl ester;
- Glycine, N-(aminosulfonyl)-N-[[4-[[(2-phenylethyl)thio]methyl]phenyl]methyl]-, methyl ester;
- 4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid 3-carboxymethyl-benzyl ester;
- 4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid 4-methyl-3-nitro-benzyl ester:
- 4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid 4-fluoro-2-trifluoromethyl-benzyl ester;
- 4-[5-(2,4-Dimethoxy-benzyl)-1,1,4-trioxo-1,2,5-thiadiazolidin-2-ylmethyl]-benzoic acid 4-(1,1,4-trioxo-1,2,5-thiadiazolidin-2-yl)-benzyl ester;
- 4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid 4-(1,1,4-trioxo-1,2,5-thiadiazolidin-2-yl)-benzyl ester;
- 4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid 5-methyl-2-nitro-benzyl ester;
  - 4-(1.1.4-Trioxo-1.2.5-thiadiazolidin-2-ylmethyl)-benzoic acid o-tolyl ester;
- 4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid 3-(carboxymethyl-methyl-amino)-2,2-dimethyl-propyl ester;
  - 4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid phenyl ester
- 4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid 5-isobutylcarbamoyl-thiophen-2-ylmethyl ester;
- 4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid naphthalen-2-ylmethyl ester;
  - N,N-Diisobutyl-4-(1,1,4-trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzamide;
- {4-[4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoyl]-piperazin-1-yl}-acetic acid;
  - 4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid naphthalen-2-yl ester;
- 5-[4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoyloxymethyl]-thiophene-2-carboxylic acid isobutyl ester;

- 4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid 5-carbamoyl-thiophen-2-ylmethyl ester;
  - 5-[4-(4-Benzyl-piperazine-1-carbonyl)-benzyl]-1,1-dioxo-1,2,5-thiadiazolidin-3-one;
- 4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid 5-(3-phenyl-propionyl)-thiophen-2-ylmethyl ester;
- 4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid 5-benzylcarbamoyl-thiophen-2-ylmethyl ester;
  - 1,1-Dioxo-5-phenyl-1,2,5-thiadiazolidin-3-one;
  - 5-(2,4-Diamino-phenyl)-1,1-dioxo-1,2,5-thiadiazolidin-3-one;
  - 3-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-yl)-benzoic acid methyl ester;
  - 3-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-yl)-benzoic acid;
  - 5-(4-Aminomethyl-phenyl)-1,1-dioxo-1,2,5-thiadiazolidin-3-one;
  - [2-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-yl)-phenyl]-acetic acid methyl ester;
  - [2-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-yl)-phenyl]-acetic acid;
  - 5-(2,4-Dimethoxyphenyl)-1,1-dioxo-[1,2,5]thiadiazolidin-3-one potassium salt;
  - N-Benzyl-2-[3-methyl-4-(1,1,4-trioxo-[1,2,5]thiadiazolidin-2-yl)-phenoxy]-acetamide;
- 3-[3-Hydroxy-4-(1,1,4-trioxo-[1,2,5]thiadiazolidin-2-yl)-benzyl]-3,4-dihydro-1H-benzo[1,4]diazepine-2,5-dione;
  - 5-(4-lodo-phenyl)-1,1-dioxo-1,2,5-thiadiazolidin-3-one;
- (S)-2-Amino-3-[4-(1,1,4-trioxo-1,2,5-thiadiazolidin-2-yl)-phenyl]-propionic acid benzyl ester:
  - (S)-2-Amino-3-[4-(1,1,4-trioxo-1,2,5-thiadiazolidin-2-yl)-phenyl]-propionic acid;
- (S)-2-Acetylamino-N-{(S)-1-pentylcarbamoyl-2-[4-(1,1,4-trioxo-1,2,5-thiadiazolidin-2-yl)-phenyl]-ethyl}-3-phenyl-propionamide;
- (S)-2-Acetylamino-3-phenyl-N-{(S)-1-(4-phenyl-butylcarbamoyl)-2-[4-(1,1,4-trioxo-1,2,5-thiadiazolidin-2-yl)-phenyl]-ethyl}-propionamide;
- [4-(2-{(S)-2-((S)-2-Acetylamino-3-phenyl-propionylamino)-3-[4-(1,1,4-trioxo-1,2,5-thiadiazolidin-2-yl)-phenyl]-propionylamino}-ethyl)-phenyl]-acetic acid;
- 2-[4-(2-Benzoylamino-2-{1-carbamoyl-2-[4-(1,1,4-trioxo-1,2,5-thiadiazolidin-2-yl)-phenyl]-ethylcarbamoyl}-ethyl)-phenoxy]-malonic acid;
- (S)-2-(Biphenyl-4-sulfonylamino)-N-pentyl-3-[4-(1,1,4-trioxo-1,2,5-thiadiazolidin-2-yl)-phenyl]-propionamide;
- (S)-2-(Biphenyl-4-sulfonylamino)-N-(4-phenyl-butyl)-3-[4-(1,1,4-trioxo-1,2,5-thiadiazolidin-2-yl)-phenyl]-propionamide;

- (S)-2-Benzenesulfonylamino-N-pentyl-3-[4-(1,1,4-trioxo-1,2,5-thiadiazolidin-2-yl)-phenyl]-propionamide;
- (S)-2-Benzenesulfonylamino-N-(4-phenyl-butyl)-3-[4-(1,1,4-trioxo-1,2,5-thiadiazolidin-2-yl)-phenyl]-propionamide;
- (S)-2-Benzenesulfonylamino-N-(3,3-diphenyl-propyl)-3-[4-(1,1,4-trioxo-1,2,5-thiadiazolidin-2-yl)-phenyl]-propionamide;
- (S)-2-Acetylamino-N-[(S)-2-[3-bromo-4-(1,1,4-trioxo-1,2,5-thiadiazolidin-2-yl)-phenyl]-1-(4-phenyl-butylcarbamoyl)-ethyl]-3-phenyl-propionamide;
- (S)-2-Benzenesulfonylamino-3-[3-bromo-4-(1,1,4-trioxo-1,2,5-thiadiazolidin-2-yl)-phenyl]-N-(4-phenyl-butyl)-propionamide;
- (S)-2-((S)-2-Acetylamino-3-phenyl-propionylamino)-3-[3-bromo-4-(1,1,4-trioxo-1,2,5-thiadiazolidin-2-yl)-phenyl]-N-pentyl-propionamide; and
- (S)-2-Acetylamino-N-{(S)-1-pentylcarbamoyl-2-[3-(1,1,4-trioxo-1,2,5-thiadiazolidin-2-yl)-phenyl]-ethyl}-3-phenyl-propionamide; or a pharmaceutically acceptable salt thereof; or a prodrug derivative thereof.
- 17. A method for the inhibition of PTP-1B activity in mammals which method comprises administering to a mammal in need thereof a therapeutically effective amount of a compound of claim 1.
- 18. A method for the treatment of conditions associated with PTP-1B activity in mammals which method comprises administering to a mammal in need thereof a therapeutically effective amount of a compound of claim 1.
- 19. The method according to claim 18, which method comprises administering said compound in combination with a therapeutically effective amount of insulin, insulin derivative or mimetic, insulin secretagogue, insulinotropic sulfonylurea receptor ligand, insulin sensitizer, alpha-glucosidase inhibitor, GLP-1, GLP-1 analog or mimetic, DPP-IV inhibitor, hypolipidemic agent, cholestyramine, fibrate, nicotinic acid, anti-hypertensive agent, anti-obesity agent, or aspirin.
- 20. A method for modulating glucose levels in mammals which method comprises administering to a mammal in need thereof a therapeutically effective amount of a compound of claim 1.

- 21. A method for the treatment and/or prevention of diabetes in mammals which method comprises administering to a mammal in need thereof a therapeutically effective amount of a compound of claim 1.
- 22. A method for the treatment and/or prevention of metabolic disorders mediated by insulin resistance in mammals which method comprises administering to a mammal in need thereof a therapeutically effective amount of a compound of claim 1.
- 23. A method for the treatment and/or prevention of atherosclerosis in mammals which method comprises administering to a mammal in need thereof a therapeutically effective amount of a compound of claim 1 in combination with a therapeutically effective amount of an HMG-CoA reductase inhibitor.
- 24. A pharmaceutical composition comprising a therapeutically effective amount of a compound of claim 1 in combination with one or more pharmaceutically acceptable carriers.
- 25. A pharmaceutical composition comprising a therapeutically effective amount of a compound of claim 1 in combination with a therapeutically effective amount of insulin, insulin derivative or mimetic, insulin secretagogue, insulinotropic sulfonylurea receptor ligand, insulin sensitizer, biguanide, alpha-glucosidase inhibitor, GLP-1, GLP-1 analog or mimetic, DPP-IV inhibitor, hypolipidemic agent, cholestyramine, fibrate, nicotinic acid, anti-hypertensive agent, anti-obesity agent, or aspirin.
- 26. A pharmaceutical composition according to claim 24 or 25 for the treatment of diabetes, atherosclerosis and metabolic disorders mediated by insulin resistance.